

Solid-phase synthesis

- 2,6,9-trisubstituted purines have been prepared on an indole resin, and this approach was used to generate olomoucine and close analogues (Dorff and Garigipati, *Tetrahedron Lett.*, 2001, 42(15), 2771-2773).
- Analogues of the potent and selective cyclopeptide $\alpha_v\beta_3/\alpha_v\beta_5$ integrin ligand, (-RGDfK-), have been prepared on solid support. This method allows derivatisation of the lysine side-chains to attach reporter groups (Boturyn and Dumy, *Tetrahedron Lett.*, 2001, 42(15), 2787-2790).
- Chiral 2-amino-benzimidazoles have been prepared through a multistep reaction sequence on solid support that commenced with optically active amino acids (Lee *et al.*, *Tetrahedron Lett.*, 2001, 42(14), 2635-2638).
- The first example of a reaction on solid-phase catalysed by visible light irradiation has been reported. This process selectively removes thiol groups using triethylborane and triethylphosphite (Arsequell *et al.*, *Tetrahedron Lett.*, 2001, 42(14), 2685-2687).
- Tetrahydroquinoxalines have been prepared on solid phase in three combinatorial steps and then released through acid-catalysed cleavage of a traceless linker (Krchnák *et al.*, *Tetrahedron Lett.*, 2001, 42(13), 2443-2446).
- 2,5-Disubstituted 1,3,4-oxadiazoles have been prepared in seven steps on solid support and liberated by acidic cleavage (Kilburn *et al.*, *Tetrahedron Lett.*, 2001, 42(13), 2583-2586).

Novel building blocks

- Boc and Fmoc protected peptoid nucleic acid monomers bearing thymine, adenine or guanine groups on the side-chain have been prepared and used to generate dipeptoid acid precursors of peptoid nucleic acids (Wu *et al.*, *Tetrahedron*, 2001, 57(16), 3373-3381).
- Phosphinic peptide building blocks suitable for the solid-phase synthesis of pseudopeptides have been generated (Georgiadis *et al.*, *Tetrahedron*, 2001, 57(16), 3471-3478).

Novel resins and linkers

- Protected peptides, peptide amides and peptide *N*-alkyl amides have been prepared on a polystyrene support using a photolabile 2-nitrobenzyl anchoring group (Kumar *et al.*, *Tetrahedron*, 2001, 57(15), 3151-3158).
- A novel strategy for the solid-phase synthesis of peptide aldehydes has been described that depends on linkage to the support through an acetal linker (Yao and Xu, *Tetrahedron Lett.*, 2001, 42(13), 2549-2552).

Library applications

- A solid-phase synthesis of asymmetric cyanine dyes has been described and used in a combinatorial approach for the discovery of new dyes (Isacsson and Westman, *Tetrahedron Lett.*, 2001, 42(18), 3207-3210).

- A novel family of partially-modified retropeptidyl hydroxamates have been prepared on solid-phase and used in a search for novel metalloprotease inhibitors (Volonterio *et al.*, *Tetrahedron Lett.*, 2001, 42(17), 3141-3144).
- High throughput parallel solution synthesis of imidazoles has produced several compounds with high affinity and selectivity at recombinant human somatostatin subtype 3 (hsst₃) receptors (Moinet *et al.*, *Bioorg. Med. Chem. Lett.*, 2001, 11(8), 991-995).
- Compounds from a library of substituted pyrazoles made by parallel solution methods have shown the ability to activate soluble guanylate cyclase and inhibit platelet activation (Selwood *et al.*, *Bioorg. Med. Chem. Lett.*, 2001, 11(8), 1089-1092).